This file contains CAS Registry Numbers for easy and accurate substance identification.

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ANSWER 1 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN L4GΙ

Ι

$$\begin{array}{c|c}
NH2 \\
N \\
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N \\
N \\
R1
\end{array}$$
R?

Title compds. [I; Z = C:NOR22, C(R24)[N(OR22)(YR23)]; X = bond, alkylene, AB alkenylene; R21, R22, R23 = H, (substituted) alkyl, alkenyl, aryl, aralkenyl, aryl, heteroaryl, etc.; R24 = H, alkyl, Ph; Ra, Rb = H, halo, alkyl, alkenyl, alkoxy, alkylthio, amino; RaRb = atoms to form a fused (substituted) cyclohexene, tetrahydropyridine ring; R1 = H, noninterfering substituent; with provisos], were prepared for treatment of cancer and viral infection (no data). Thus, 2-chloromethyl-1-(2-methylpropyl)-1Himidazo[4,5-c]quinolin-4-amine (preparation given), N,O-dimethylhydroxylamine hydrochloride, and Et3N were heated together in DMF for 3 days at 50° to give 1-(2-methylpropyl)-2-[[methoxy(methyl)amino]methyl]-1Himidazo[4,5-c]quinolin-4-amine trifluoroacetate.

2006:817875 CAPLUS ΑN

DN 145:230631

ΤI Preparation of oxime and hydroxylamine substituted (fused) imidazopyridines as cytokine biosynthesis inducers.

Kshirsagar, Tushar A.; Lundquist, Gregory D., Jr.; Dellaria, Joseph F., ΙN Jr.; Radmer, Matthew R.; Zimmermann, Bernhard M.

PΑ 3M Innovative Properties Company, USA

SO PCT Int. Appl., 165pp.

CODEN: PIXXD2

DТ Patent

LA English

FAN.CNT 2

	PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
ΡI	WO 2006086634 WO 2006086634			A2 20060817 A3 20070809			WO 2006-US4737						20060210					
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                                                                                 20060210
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, CM, VE, LS, MM, MZ, NA, SD, SI, SZ, TZ, UC, ZM, ZM, AM, AZ, RY
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      WO 2006-US4737
                               W
                                      20060210
      US 2006-743437P
                               Ρ
                                      20060308
      CASREACT 145:230631; MARPAT 145:230631
OS
      1026038-50-5
ΙT
      RL: PRPH (Prophetic)
         (Preparation of oxime and hydroxylamine substituted (fused)
         imidazopyridines as cytokine biosynthesis inducers.)
RN
      1026038-50-5 CAPLUS
      1H-Imidazo[4,5-c]quinoline-1-ethanol,
CN
      4-amino-2-[(hydroxyamino)methyl]-\alpha,\alpha-dimethyl- (CA INDEX
      NAME)
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- Page 3
- ANSWER 2 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN L4GΙ

- AΒ Title compds. [I; Z = -C(:N-OR2) or CH-N(OR2)(YR3); X = CHR9, CH(R9)-alk(en)ylene-, etc.; R9 = H, alkyl; R1 = H, (un)substituted alkyl, alkylene/hetero/aryl, etc.; R2, R3 = independently H, (un)substituted alk(en)yl, hetero/aryl, hetero/arylalkylenyl, etc.; Y = a bond, C:O, C:S, SO2, etc.; RA, RB = independently H, halo, alk(en)yl, etc.; RACCRB = (un) substituted fused hetero/aryl, fused 5-7-membered saturated ring], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, reacting 5-[4-Amino-2-(ethoxymethyl)-1H-imidazo[4,5c]quinolin-1-yl]pentan-2-one with NH2OH•HCl in the presence of NaBH3CN/AcOH/EtOH, and substitution with mesyl anhydride gave imidazoquinoline II (m.p. = 146-148°). Certain I may modulate cytokine biosynthesis by inhibiting production of tumor necrosis factor ${\tt TNF-}\alpha$ when tested in mouse cells (no data).
- 2005:493478 CAPLUS ΑN
- 143:43875 DN
- ΤI Preparation of hydroxylamine and oxime substituted imidazoquinolines, imidazopyridines, and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases
- Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Amos, David T.; Zimmermann, Bernhard M.; Squire, David J.; Marszalek, Gregory J.; INHeppner, Philip D.; Kshirsagar, Tushar A.
- PA3M Innovative Properties Company, USA
- SO PCT Int. Appl., 305 pp.

CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 2

FAN.					KIND		DATE		APPLICATION NO.					DATE				
ΡI	WO							0609	WO 2004-US39673						20041124			
	WO	2005051324				А3		2006	20060105									
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
								PL,				,						
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:						MW,										
								RU,										
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	ĽР	1686															0041	
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	CM	1905				A										2	00/1	124
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		2007								JP 2006-541442 US 2006-595859								
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		2006						2007				006-					0060	
PRAI								2003								_		
		2004						2004	0616									
		2004																
	WO	2004	-US3	9673		W		2004	1124									
OS	CAS	SREAC'	T 14	3:43	875;	MAR:	PAT	143:	43875	5								
ΙT	104	45154	-07-	1														
	RT.	DDD.	H (P	ronh	at i c	1												

RL: PRPH (Prophetic)

(Preparation of hydroxylamine and oxime substituted imidazoquinolines, imidazopyridines, and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases)

RN 1045154-07-1 CAPLUS

CN 2-Pentanone, 5-[4-amino-2-propyl-7-(4-pyridinyl)-1H-imidazo[4,5-c]quinolin-1-yl]-, O-methyloxime (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN GI

AΒ Title compds. [I; X = alkylene optionally interrupted by one or more -O-; Z = C:O, -C(:O)O-, -C(OR3)2-; R1 = H, (un)substituted alkyl,alkylene/aryl, alkylene/heteroaryl; Q = O, S; R3 = (un)substituted alkyl, alkylene/aryl, alkylene/heteroaryl; R2 = H, (un)substituted alk(en/yn)yl, hetero/aryl, alkylenealkyl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; or RACCRB = (un)substituted fused aryl ring or fused 5-7-membered saturated ring; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II was prepared by reacting 4-(2-Butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyraldehyde (preparation given) with MeMgBr, followed by oxidation, reductive amination of the ketone, oxidation with m-CPBA/reaction with NH4OH. I have been found to induce cytokine biosynthesis by inhibiting production of tumor necrosis factor $\text{TNF}-\alpha$ when tested on an in vitro human blood cell system (no data).

AN 2005:490270 CAPLUS

DN 143:26611

TI Preparation of oxime substituted imidazo-containing compounds, particularly imidazoquinolines, as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases

IN Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy, Daniel E.; Radmer,
Matthew R.; Amos, David T.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 200 pp.

CODEN: PIXXD2 DTPatent LA English FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE _____ ----_____ WO 2005051317 A2 20050609 WO 2004-US39512 WO 2005051317 A3 20060511 PΙ 20041124 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20050609 AU 2004-293078 20050609 CA 2004-2547020 20060809 EP 2004-812098 AU 2004293078 A1 20041124 CA 2547020 A1 A2 20041124 EP 1687307 20041124 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
BR 2004016936
CN 1926138
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CN 2004-80040954
JP 2007512370
T 20070517
JP 2006-541697
SG 148201
A1 20081231
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A 20070625
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US 2004-580139P
P 20040616
WO 2004-US39512
W 20041124

OS CASREACT 143:26611: MARPAT 143:26611 20041124 20041124 20041124 20041124 20060522 20060524 20060525 20060623 20060623 OS CASREACT 143:26611; MARPAT 143:26611 845638-60-0P, 4-(4-Amino-2-propyl-1H-imidazo[4,5-c]quinolin-1-yl)-N-methoxy-N-methylbutyramide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of oxime substituted imidazoquinolines as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease) 845638-60-0 CAPLUS RN 1H-Imidazo[4,5-c]quinoline-1-butanamide, CN 4-amino-N-methoxy-N-methyl-2-propyl- (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN GI

ΙI

$$\begin{array}{c|c}
NH2 \\
N \\
N \\
N \\
N \\
O-R4 \\
R1 \\
R3 \\
I$$

AB Title compds. I [X = alkylene, alkenylene; R1 and R2 independently = H, halo, alkoxy, etc. or R1 and R2 together = (un)substituted fused-aryl or -heteroaryl ring, fused 5 to 7-membered (un)substituted-saturated ring optionally containing one heteroatom (N or S); R3 = H or non-interfering substituents; R4 = (un)substituted amine, heterocycle containing at least one nitrogen atom and optionally sulfur] and their pharmaceutically acceptable salts, are prepared and disclosed as antitumor and antiviral agents. Thus, e.g., II was prepared by cyclization of N4-(2-methylpropyl)quinoline-3,4-diamine with chloroacetyl chloride to the resp. imidazolyl quinoline intermediate, which was aminated to give 2-chloromethyl-1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine (III). III was then reacted with N-hydroxyphthalimide to provide the

N-phthalimide protected hydroxylamine derivative which is deprotected using hydrazine and then converted into its HCl salt. The ability of I to induce cytokine biosynthesis was evaluated and selected compds. of the invention may display inhibition of tumor necrosis factor α $(TNF-\alpha)$ (no data given). I as inhibitor of tumor necrosis factor α should prove useful in the treatment of neoplastic and viral diseases. 2005:470254 CAPLUS AN143:26605 DN Preparation of imidazolyl hydroxylamine derivatives as antitumor and ΤI antiviral agents ΤN Kshirsagar, Tushar A.; Lundquist, Gregory D., Jr.; Amos, David T.; Dellaria, Joseph F., Jr.; Zimmermann, Bernhard M.; Heppner, Philip D. 3M Innovative Properties Company, USA PΑ PCT Int. Appl., 230 pp. SO CODEN: PIXXD2 DT Patent English LA FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. _____ ____ _____ _____ A2 WO 2004-US38033 20041112 PΙ WO 2005048945 20050602 20060323 WO 2005048945 А3 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004291122 20050602 AU 2004-291122 Α1 20041112 CA 2545825 Α1 20050602 CA 2004-2545825 20041112 EP 1682544 Α2 20060726 EP 2004-810969 20041112 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU CN 1906192 Α 20070131 CN 2004-80040435 20041112 20041112 JP 2007511535 Т 20070510 JP 2006-539957 20090423 US 20090105295 A1 US 2006-595790 20060511 IN 2006CN01680 IN 2006-CN1680 Α 20070824 20060512 PRAI US 2003-520215P Р 20031114 WO 2004-US38033 W 20041112 CASREACT 143:26605; MARPAT 143:26605 OS 852718-30-0 ΙT RL: PRPH (Prophetic) (Preparation of imidazolyl hydroxylamine derivatives as antitumor and antiviral agents)

RN 852718-30-0 CAPLUS

CN Acetamide, N-[[4-amino-1-(2-hydroxy-2-methylpropyl)-1H-imidazo[4,5-c]quinolin-2-yl]methoxy]- (CA INDEX NAME)

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN GI

AB Title compds. [I; X = alk(en)ylene; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; RACH-CHRB = (un)substituted fused hetero/aryl ring; RACH-CHRB = (un)substituted fused 5-7-membered saturated ring; R2, R'' = independently H, (un)substituted alk(en)yl, hetero/aryl, hetero/arylalkylenyl, heterocyclylalkylenyl; or R2CR'' = (un)substituted 4-9-membered ring; R' = H, non-interfering substituent], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. A 5-step synthesis for II is given. I may modulate cytokine biosynthesis by inhibiting production of tumor necrosis factor TNF- α

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when tested in mouse cells (no data).
      2005:470244 CAPLUS
ΑN
      143:26604
DN
      Preparation of oxime substituted imidazo-containing compounds as inducers
TI
      of cytokine biosynthesis for treatment of viral and neoplastic disease
IN
      Kshirsagar, Tushar A.; Lundquist, Gregory D., Jr.; Amos, David T.;
      Dellaria, Joseph F., Jr.; Zimmermann, Bernhard M.; Heppner, Philip D.
      3M Innovative Properties Company, USA
PA
      PCT Int. Appl., 316 pp.
      CODEN: PIXXD2
DT
      Patent
T.A
     English
FAN.CNT 1
                           KIND DATE
      PATENT NO.
                                                     APPLICATION NO.
                                                                                  DATE
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      WO 2005048933
      A2
      20050602

      WO 2005048933
      A3
      20051201

PΙ
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           CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, ST, SK, TR, BE, BL, CE, CG, CT, CM, GA, GN, GO, GW, ML, MR
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                                       20070510 JP 2006-539911
      JP 2007511527
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      US 20090042925
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      IN 2006CN01669
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                              Α
PRAI US 2003-520418P
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                                      20031114
     WO 2004-US37854 W 20041112
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      CASREACT 143:26604; MARPAT 143:26604
ΙT
      1044959-53-6
      RL: PRPH (Prophetic)
          (Preparation of oxime substituted imidazo-containing compounds as
          inducers of cytokine biosynthesis for treatment of viral and neoplastic
         disease)
      1044959-53-6 CAPLUS
RN
      INDEX NAME NOT YET ASSIGNED
CN
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RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN GI

 * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. [I; X = CHR2, CHR2A; A = (un)substituted alkylene, alkenylene; Y = a bond, C(:O), C(:S), SO2, COO, CONH and derivs., etc.; R1, R' = independently H, (un)substituted alk(en)yl, aryl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; or RACCRB = (un)substituted fused hetero/aryl, fused 5- to 7-membered saturated ring; R'' = H, non-interfering substituent; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, reacting 1-[3-(aminooxy)propyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine (preparation given) with cyclopropanecarbonyl chloride gave title compound II (m.p. = 103-105°). Thus, induced interferon and tumor necrosis factor in human cells (no data).

AN 2005:177837 CAPLUS

DN 142:280205

- TI Preparation of hydroxylamine substituted imidazo-containing compounds as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease
- IN Kshirsagar, Tushar A.; Amos, David T.; Dellaria, Joseph F., Jr.; Heppner,
 Philip D.; Langer, Scott E.; Zimmermann, Bernhard M.
- PA 3M Innovative Properties Company, USA
- SO PCT Int. Appl., 254 pp. CODEN: PIXXD2

DT Patent

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LA
     English
FAN.CNT 2
                   KIND DATE APPLICATION NO. DATE
     PATENT NO.
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     WO 2005018556 A2 20050303 WO 2004-US26158 WO 2005018556 A3 20050929
PΙ
                                                                        20040812
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              CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
              TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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              EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
              SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
     AU 2004266658
                                   20050303
                                              AU 2004-266658
                                                                         20040812
                            Α1
     CA 2535120
                                20050303 CA 2004-2535120
20060510 EP 2004-780922
                           A1
                                                                        20040812
     EP 1653955
                           A2
                                                                        20040812
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                               20060920 CN 2004-80023051 20040812
20061017 BR 2004-13558 20040812
20070208 JP 2006-523371 20040812
                       A
     CN 1835750
                          А
     BR 2004013558
                           T
     JP 2007502293
US 20080114019 A1 20080515

MX 2006001674 A 20060512

PRAI US 2003-494605P P 20030812

US 2003-494608P P 20030812

WO 2004-US26158 W 20040812
                                             US 2006-595058
                                                                        20060123
                                             MX 2006-1674
                                                                         20060210
     CASREACT 142:280205; MARPAT 142:280205
OS
ΙΤ
     1044643-63-1
     RL: PRPH (Prophetic)
        (Preparation of hydroxylamine substituted imidazo-containing compounds
         as inducers of cytokine biosynthesis for treatment of viral and
         neoplastic disease)
RN
     1044643-63-1 CAPLUS
     Cyclopropanecarboxamide, N-[4-[4-amino-2-(ethoxymethyl)-6,7,8,9-tetrahydro-
CN
     1H-imidazo[4,5-c]quinolin-1-yl]butoxy]- (CA INDEX NAME)
```

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; X = CHR2A; A = alkylene, alkenylene optionally interrupted by one or more O; R1, R' = independently H, (un)substituted alk(en)yl, hetero/aryl, hetero/arylalkylenyl, heterocyclyl, heterocyclylalkylenyl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; or RACCRB = (un)substituted fused hetero/aryl, fused 5- to 7-membered saturated ring; R'' = H, non-interfering substituent; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. Thus, reacting 4-fluorobenzaldehyde with

1-[3-(aminooxy)propyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine (preparation given) in MeOH gave oxime II. I induced interferon and tumor necrosis factor in human cells (no data).

AN 2005:177833 CAPLUS

DN 142:280204

TI Preparation of oxime substituted imidazo-containing compounds as inducers of cytokine biosynthesis for treatment of viral and neoplastic disease

IN Kshirsagar, Tushar; Amos, David T.; Dellaria, Joseph F., Jr.; Heppner, Philip D.; Langer, Scott E.; Zimmermann, Bernhard M.

PA 3M Innovative Properties Company, USA

SO PCT Int. Appl., 348 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

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      WO 2005018551
      A2
      20050303

      WO 2005018551
      A3
      20060511

                                                WO 2004-US26065
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          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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              GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
              LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
              NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
               TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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              EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
              SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
              SN, TD, TG
     AU 2004266641
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                                                AU 2004-266641
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                                    20050303 CA 2004-2535117
20060510 EP 2004-780839
     CA 2535117
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                             Α1
     EP 1653914
                             Α2
                                                                            20040812
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
                                              JR 2004-12902
JP 2006-523340
CN 2004-800201
US 200
                                20060926
     BR 2004012902
                        A
                                                                           20040812
     JP 2007502288
                             Τ
                                   20070208
                                                                            20040812
                           A 20070208
A 20071226
A1 20070322
A 20060428
     CN 101094670
                                                 CN 2004-80023366
                                                                            20040812
     US 20070066639
                                                 US 2006-595065
                                                                            20060126
     MX 2006001669
                                               MX 2006-1669
                                                                            20060210
                                  20070622
     IN 2006CN00516
                           Α
                                                IN 2006-CN516
                                                                            20060210
                                  20030812
                           P
PRAI US 2003-494605P
     ______P
WO 2004-US26065 W
CASREACT 142.22000
                                20030812
20040812
     CASREACT 142:280204; MARPAT 142:280204
OS
     1044345-61-0
ΙT
     RL: PRPH (Prophetic)
         (Preparation of oxime substituted imidazo-containing compounds as
         inducers of cytokine biosynthesis for treatment of viral and neoplastic
        disease)
RN
     1044345-61-0 CAPLUS
     2-Pentanone, O-[2-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-
```

Double bond geometry as shown.

yl)ethoxy]ethyl]oxime, (2E)- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN L4Pharmaceutical formulations in an aqueous (preferably, sprayable) formulation AB including an immune response modifier (IRM), such as those chosen from imidazoquinoline amines, tetrahydroimidazoquinoline amines, imidazopyridine amines, 6,7-fused cycloalkylimidazopyridine amines, 1,2-bridged imidazoguinoline amines, imidazonaphthyridine amines, imidazotetrahydronaphthyridine amines, oxazoloquinoline amines, thiazoloquinoline amines, oxazolopyridine amines, thiazolopyridine amines, oxazolonaphthyridine amines, thiazolonaphthyridine amines, and 1H-imidazo dimers fused to pyridine amines, quinoline amines, tetrahydroquinoline amines, naphthyridine amines, or tetrahydronaphthyridine amines, are provided. In one embodiment, the aqueous formulations are advantageous for treatment and/or prevention of allergic rhinitis, viral infections, sinusitis, and asthma. For example, N-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1dimethylethyl]methanesulfonamide (IRM 1) was prepared as a 0.375% aqueous solution capable of being nasally administered via a spray pump. The solution contained IRM 1 0.375%, CM-cellulose sodium 0.1%, benzalkonium chloride 0.02%, disodium EDTA 0.1%, L-lactic acid 1.53%, PEG 400 15%, 1N NaOH as needed for pH 4.0, and water to 100%. The IRM 1 solution (50 $\mu L)$ administered to rats once 4 h before infection with humanized, non-lethal influenza virus, almost completely suppressed the virus. titer. 2005:160991 CAPLUS AN DN 142:246181 ΤI Formulations containing an amine-based immune response modifier ΙN Hammerbeck, David M.; Guy, Cynthia A.; Leung, Suzanne S. PΑ 3M Innovative Properties Company, USA SO PCT Int. Appl., 118 pp. CODEN: PIXXD2 DT Patent English LA FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2005016275 A2 20050224 WO 2004-US25277 WO 2005016275 A3 20050414 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20050224 AU 2004-264336 20050224 CA 2004-2534313 20050331 US 2004-911800 20060503 EP 2004-780166 AU 2004264336 A1 20040805 A1 CA 2534313 20040805 A1 US 20050070460 20040805 20060503 A2 EP 1651190 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

JP 2007501252 T 20070125 JP 2006-522714

20040805

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US 20070292456
                       A1
                               20071220
                                          US 2006-595049
                                                                20060118
                       P
PRAI US 2003-493109P
                               20030805
    WO 2004-US25277
                        TAT
                               20040805
    845638-60-0
ΙT
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
       (solns. containing amine-based immunomodulators)
RN
    845638-60-0 CAPLUS
    1H-Imidazo[4,5-c]quinoline-1-butanamide,
    4-amino-N-methoxy-N-methyl-2-propyl- (CA INDEX NAME)
```

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

AB Methods of eliciting a toll-like receptor 8 (TLR8)-mediated cellular response are disclosed. Such methods include administration of either a TLR8 agonist or a TLR8 antagonist to an IRM (immune response modifier)-responsive cell so that the IRM compound affects at least one TLR8-mediate cellular signaling pathway. In some cases, the method may provide prophylactic or therapeutic treatment for a condition treatable by modulating a TLR8-mediated cellular pathway.

AN 2004:681403 CAPLUS

DN 141:185096

TI Methods and compositions related to IRM compounds and toll-like receptor 8

IN Gorden, Keith B.; Qiu, Xiaohong; Vasilakos, John P.

PA 3M Innovative Properties Company, USA

SO U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

L MIN.	CNII																
	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						_									_		
ΡI	US 2004	0162	309		A1		2004	0819		US 2	004-	7773	10		2	0040	212
	US 7375	180			В2		2008	0520									
	WO 2004	0714	59		A2		2004	0826	,	WO 2	004-	US43	53		2	0040	212
	WO 2004	0714	59		А3		2005	0127									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NI
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,

BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG EP 1592302 20051109 EP 2004-710701 20040212 Α2 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2006517974 Τ JP 2006-503575 20060803 20040212 PRAI US 2003-447179P Ρ 20030213 WO 2004-US4353 W 20040212 ΙT 740809-73-8 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods and compns. related to immune response modifier compds. and affecting toll-like receptor 8-mediated cellular response for therapeutic treatments) RN 740809-73-8 CAPLUS

Benzamide, 4-[4-amino-2-(ethoxymethyl)-1-(2-hydroxy-2-methylpropyl)-1H-

RE.CNT 133 THERE ARE 133 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN GI

$$R_{n}$$
 R_{1}
 R_{1}
 R_{2}
 R_{1}

CN

AB Title compds. I (R = alkyl, alkoxy, OH, CF3; n = 0, 1; R1, R2 = H, non-interfering substituent; R3 = ArZ, aminosulfonylaryl, aminocarbonylaryl, etc.; Ar = aryl, heteroaryl; Z = bond, alkylene, alkenylene, alkynylene) which are immunomodulators, inducing cytokines biosynthesis, and inhibiting tumor necrosis factors biosynthesis, are prepared For example, 2-butyl-1-isobutyl-7-(thiophen-3-yl)-1H-imidazo[4,5-c]quinolin-4-amine was prepared in a multi-step synthesis starting from 3-bromoaniline, tri-Et orthoformate, and Meldrum's acid. I are useful in the treatment of viral and neoplastic diseases.

AN 2004:566606 CAPLUS

DN 141:123628

- TI Preparation of aryl/heteroaryl substituted imidazoquinolines as immunomodulators
- IN Hays, David S.; Niwas, Shri; Kshirsagar, Tushar; Ghosh, Tarun K.; Gupta, Shalley K.; Heppner, Philip D.; Merrill, Bryon A.; Bonk, Jason D.; Danielson, Michael E.; Gerster, John F.; Haraldson, Chad A.; Johannessen, Sarah C.; Kavanagh, Maureen A.; Lindstrom, Kyle J.; Prince, Ryan B.; Radmer, Matthew R.; Rice, Michael J.; Squire, David J.; Strong, Sarah A.; Wurst, Joshua R.
- PA 3M Innovative Properties Company, USA
- SO PCT Int. Appl., 465 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.				KIND DATE			APPLICATION NO.						DATE				
ΡI	WO	2004058	759		A1		2004	0715		WO 2	003-	US40	373		2	0031	218	
		W: AI	AG,	AL,	AM,	ΑT,	ΑU,	AΖ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		Cl	, co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
			:, GH,															
			L, LR,															
			, OM,		,	,			,	,				,		SY,	ΤJ,	
			I, TN,				•						•					
		RW: BV			•				•	•						•		
			, KG,	•	•			•		•	•	•						
			, FI,		•			•		•								
	~ -		BF,															TG
	-	CA 2510375													20031218			
	AU 2003301052 US 20040147543																	
										05 2	003-	1391	8 /		2	0031	218	
		7091214 1590348	:		B2 A1		2006 2005			מם	002	01/11	<i>C</i> 1		2	0021	210	
	EP	R: A:																
			, BE,			•	•										F1,	
	CM	1747953		,		,	2006				,			,			218	
	.TD	2006513	212		Т		2006											
		540826			A		2008											
		2005006	740		A		2005	-			005-							
		2005CN					2007											
		2005005					2006				005-							
		2006013					2006				006-							
		2008CN					2008	0919			008-							
PRAI	US	2002-43	5889P		P		2002	1220										
	US	2003-53	6331P		P		2003	1031										
	US	2003-73	9787				2003	1218										

WO 2003-US40373 W 20031218 IN 2005-CN1348 A3 20050620

OS MARPAT 141:123628

IT 723264-71-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoquinoline derivs. as immunomodulators for treatment of viral and antineoplastic diseases)

RN 723264-71-9 CAPLUS

CN Benzamide, 3-[4-amino-2-(ethoxymethyl)-1-(2-hydroxy-2-methylpropyl)-1Himidazo[4,5-c]quinolin-7-yl]-N-methoxy-N-methyl- (CA INDEX NAME)

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN GI

$$\begin{array}{c} R^{2} \\ R^{1} - (C)_{m} \\ R^{3} \end{array} \begin{array}{c} (CH_{2})_{n} \\ Y \\ R^{6} \end{array} \begin{array}{c} R^{4} \\ N \\ NHR^{5} \end{array} \begin{array}{c} I \\ I \\ I \\ NHR^{5} \end{array} \begin{array}{c} I \\ I \\ I \\ I \\ I \end{array}$$

AB The compds. I [R1 = OR7, SO2NR8R9, CONHR8R9, NR10R11, CR12:NOH, OH, cyano; R2, R3 = H, lower alkyl; R4 = H, C1-10 linear or branched alkyl which may be substituted with ≥1 OH, lower alkyl, cycloalkyl, halo; R5 = H, lower alkyl; R6 = H, lower alkyl, lower alkoxy, halo; R7 = OH, lower alkyl, lower alkoxy; R8, R9 = H, lower alkyl; R10 = H, lower alkyl, benzyl; R11 = H, lower alkyl, benzyl, lower alkanesulfonyl, lower alkanoyl, (un)substituted carbamoyl, (un)substituted thiocarbamoyl, (un)substituted benzenesulfonyl; R12 = H, lower alkyl; m = 0, 1; n = 1-3; X = C1-3 alkylene, CH:CH; Y = S, CH:CH; dotted line represents an optional bond] or their pharmacol. acceptable salts are claimed. I induce synthesis of interferons and are useful as antiviral agents and anticancer

agents. Human PBMCs were incubated with 0.10 μ g/mL 1-[2-(4-aminophenyl)ethyl]-1,6,7,8-tetrahydrocyclopenta[b]imidazo[4,5-d]pyridin-4-amine hydrochloride (preparation given) to produce 737 pg/mL interferon- α , vs. 62 pg/mL for a control incubated with

1-(2-phenylethyl)-1H-imidazo[4,5-c]quinolin-4-amine.

AN 1999:206895 CAPLUS

DN 130:291590

TI 1-(Substituted aryl)alkyl-1H-imidazopyridin-4-amines as interferon inducers

IN Kato, Hideo; Sakaguchi, Osamu; Aoyama, Makoto; Tsubouchi, Katsutoshi

PA Hokurika Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 78 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 11080156	A	19990326	JP 1997-255926	19970904
PRAI	JP 1997-255926		19970904		

OS MARPAT 130:291590

IT 223258-41-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridinamine derivs. as interferon inducers for anticancer and antiviral drugs)

RN 223258-41-1 CAPLUS

CN Ethanone, 1-[4-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]phenyl]-, oxime (CA INDEX NAME)

=> d hitstr 11 14

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

IT 223258-41-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazopyridinamine derivs. as interferon inducers for anticancer and antiviral drugs)

RN 223258-41-1 CAPLUS

CN Ethanone, 1-[4-[2-(4-amino-1H-imidazo[4,5-c]quinolin-1-yl)ethyl]phenyl]-, oxime (CA INDEX NAME)